JP 51-91317

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DERWENT-WEEK: 197639

TITLE: Damp proof film for pharmaceuticals - comprising a substd. vinyl pyridine-methyl methacrylate copolymer, water insoluble non ionic surfactant and higher fatty acid

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PATENT-FAMILY:

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ABSTRACTED-PUB-NO: JP 51091317A

BASIC-ABSTRACT:

Pharmaceuticals (e.g. tablets, granules) are coated with a compsn. which comprises (1) a 2-methyl-5-vinyl-pyridine-methyl methacrylate copolymer or polyvinylacetal diethylaminoacetate, (2) a water-insoluble nonionic surfactant solid at ambient temp. and (3) a higher fatty acid solid at ambient temp. As nonionic surfactant, suitable cpds. are polyoxyethylene fatty acid esters, sorbitan fatty acid ester, polyoxyethylene higher alcohol esters and fatty acid glycerides. Glycerin monostearate is pref. Suitable higher fatty acids and stearic and palmitic acids. The ratio of the fatty acid to

the coating
ingredient may be 5 - 60%.

The coating gives a damp proof film on the tablets.

TITLE-TERMS: DAMP PROOF FILM PHARMACEUTICAL COMPRISE SUBSTITUTE VINYL PYRIDINE
METHYL METHACRYLATE COPOLYMER WATER INSOLUBLE NON ION SURFACTANT
HIGH FATTY ACID

DERWENT-CLASS: A96 B07

CPI-CODES: A04-D07; A04-F06E1; A12-V01; B04-C03; B10-C04E; B10-E04C; B10-G02;
B12-M09; B12-M11;

CHEMICAL-CODES:
Chemical Indexing M1 *01*
Fragmentation Code
V742 V743 D160 F113 F123 F431 L660 H181 J171 H401
H421 H481 H422 H423 H424 J271 J272 J273 J221 J222
H581 H583 H584 H589 H721 M240 M232 M233 M331 M333
M430 M510 M511 M520 M521 M530 M540 M782 R031 R032
R033 R034 R036 R038 R043 Q616 M423 M902

Chemical Indexing M2 *02*
Fragmentation Code
J1 M210 M211 M212 M213 M214 M215 M216 M220 M221
M222 M223 M224 M225 M226 M231 M232 M233 M260 M281
M311 M312 M313 M314 M315 M316 M320 J171 M620 M430
M510 M520 M530 M540 M782 R031 R032 R033 R034 R036
R038 R043 Q616 M416 M902

Chemical Indexing M2 *03*
Fragmentation Code
J2 M282 M283 M210 M220 M225 M226 M231 M232 M233
M260 M281 M313 M314 M332 M321 M343 M380 M391 H401
H481 H482 H483 H484 J271 J272 J273 M620 M430 M510
M520 M530 M540 M782 R031 R032 R033 R034 R036 R038
R043 Q616 M416 M902

Chemical Indexing M6 *04*
Fragmentation Code
R032 R038 R111 R120 R280 R319 R307 R001 M902

UNLINKED-RING-INDEX-NUMBERS: 00996

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Japanese Published Unexamined Patent Application (A) No. 51-091317, published August 10, 1976; Application Filing No. 50-13976, filed February 4, 1975; Inventor(s): Noboru Arai; Assignee: Meiji Confectionary Co.; Japanese Title: Method to Manufacture Pharmaceutical Tablets Coated with Moisture-Repellent Film

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METHOD TO MANUFACTURE PHARAMACEUTICAL TABLETS COATED WITH MOISTURE-REPELLENT FILM

CLAIM(S)

A method to manufacture tablets coated with a moisture-repellent film, characterized in that the pharmaceutical tablets are coated with a film prepared by adding a water-insoluble nonionic surfactant which is solid at a normal temperature and one or more high-grade fatty acids that are solid at a normal temperature are added to the film composition primarily composed of 2-methyl-5-vinyl-pyridine-methylacrylatemethacrylate copolymer or polyvinylacetal diethylaminoacetate.

DETAILED DESCRIPTION OF THE INVENTION

The present invention pertains to a moisture-preventing pharmaceutical film for tablets and granules.

2-methyl-5-vinyl-pyridine-methylacrylate methacrylate copolymer and polyvinyl acetal diethylaminoacetate are generally used for making a film to

protect moisture-unstable substances and for making oral medicine intake easier. However, in reality, when the tablets and granules are coated with a film prepared by adding a plasticizer, dispersant, coloring agent and silicon to a substance, a moisture-preventing effect is not sufficient.

The inventor of the present invention, after assiduously studied on the moisture-prevention, produced the present invention. More specifically, he found that when a water-insoluble nonionic surfactant which is solid at a normal temperature and one or more high-grade fatty acids which are solid at a normal temperature are added to the film composition primarily composed of 2-methyl-5-vinyl-pyridine-methylacrylate methacrylate copolymer or polyvinylacetal diethylaminoacetate, and this admixture is coated on tablets and granules by using an organic solvent by a conventional method, pharmaceutical agents coated with a film excellent in moisture-repellency can be prepared.

For the water-insoluble nonionic surfactant that is solid at a normal temperature, can be used polyoxyethylene fatty acid ester, sorbitan fatty acid ester, polyoxyethylene high-grade alcohol ester, and glycerin fatty acid ester,

18 but the glycerin fatty acid ester, particulary glycerin monostearate, can produce an excellent result. For the high-grade fatty acid that is solid at a normal temperature, can be used stearic acid and palmitic acid. An admixture

of one or more of them is added. As to the amount to be added, 5-60% to the film component should be added to produce an excellent result.

If necessary, a plasticizer, coloring agent, and dispersant are added, and by using a proper organic solvent, such as methanol, ethanol, dichloromethane, or 1.1.1 trichloroethane, the coating composition is produced. When tablets and granules are coated with this composition by a conventional method, the moisture-preventing tablets are produced.

The tablets of the present invention have not only more improved moisture-repellency, but also demonstrated an excellent result in a collapse test.

The present invention is further explained below with reference to the embodiment examples.

The mixing ratio is indicated by parts/weight.

Embodiment Example 1

2-methyl-5-vinyl-pyridine-methylacrylate methacrylate copolymer: 75 parts/weight

stearic acid:	15 parts/weight
glycerin monostearate:	10 parts/weight
ethanol:	700 parts/weight
1.1.1 – trichloroethane:	700 parts/weight

13.3% per copolymer

Nearly 20 mg of film forming solution having the above components was coated on each of very moisture-absorbent tablet (weight 270 mg, diameter 9 mm). The tablets hardly absorbed moisture for 7 days at 25°C and 75% RH and were stable.

The result of collapse test was: 15 – 20 minutes for the primary solution [The translator does not quite understand the meaning of this expression, so literal rendition was provided.]. In a reference example, the tablets coated with the above film composition from which stearic acid and glycerin monostearate were removed absorbed moisture in 7 days at 25°C and 75% RH, so the tablets were cracked due to swelling.

Embodiment Example 2

2-methyl-5-vinyl-pyridine-methylacrylate-methylmethacrylate copolymer: 75 parts/weight

stearic acid: 25 parts/weight

ethanol: 700 parts/weight

1.1.1-trichloroethane: 700 parts/weight

The pharmaceutical agent coated with the above components in the same fashion as in embodiment example 1 demonstrated excellent in moisture-repellency.

Embodiment Example 3

Polyvinylacetal diethylaminoacetate:	75 parts/weight
Glycerin monostearate:	25 parts/weight
Methanol:	750 parts/weight
Dichloromethane:	750 parts/weight

When the tablets were coated with the film having the above composition, the tablets were excellent in moisture repellency.

The tablets coated with the film containing the above composition from which glycerin monostearate was removed (reference group) absorbed moisture in 7 days at 25°C and 75% RH and were cracked due to swelling.

Embodiment Example 4

Polyvinylacetal diethylaminoacetate:	75 parts/weight
Stearic acid:	25 parts/weight
Methanol:	750 parts/weight
Dichloromethane:	750 parts/weight

When the tablets were coated with a film containing the above composition, the tablets having an excellent moisture-repellent property were produced.